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Antiinflammatory 4-hydroxy-2-thiophene carboxylic acid derivs. - useful intermediates for medicines and pesticides, and as medical drugs

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Patent Family:

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JP 59042375 A		19840308		JP 82153595 A		19820903		198416 B

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Patent Details:

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JP 59042375 A			9			

Abstract (Basic): JP 59042375 A

Cpds. of formula (I), their salts and esters are new, and have antiinflammatory effect: (R1 is H or 1-4C alkyl; R2 is H, 1-6C alkyl, opt. substd. phenyl, benzoyl, or substd. ben oyl).

42.8% inhibition of carrageenin edema is exhibited in rats when (I) is administered in an oral dose of 25 mg/kg.S In an example, 200 mg of 4-hydroxy-5 -phenyl-2-thiophene carboxylic acid ethyl ester (0.76 mmol) was dissolved in 2 ml of 1N NaOH. To this mixt. 0.1 ml of dimethyl sulphate (1.0 mmol) was added dropwise under stirring. The reaction mixt. was heated under reflux for 2 hrs. After cooling, 5 ml of 10% NaOH aq. soln. was added and stirred at room temp. for 1 hr. The mixt. was adjusted at acidic pH with 10% HCl, extracted with ethyl acetate, and conc. When the residue was recrystallised from cyclohexane-toluene (1:1). 106 mg of 4-methoxy-5 -phenyl-2-thiophene -carboxylic acid was obtd.

Title Terms: ANTIINFLAMMATORY; HYDROXY; THIOPHENE; CARBOXYLIC; ACID; DERIVATIVE; USEFUL; INTERMEDIATE; MEDICINE; PEST; MEDICAL; DRUG

Derwent Class: B03; C02

International Patent Class (Additional): C07D-333/32

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TI- Antiinflammatory 4-hydroxy-2-thiophene carboxylic acid derivs. - useful intermediates for medicines and pesticides, and as medical drugs  
AB- JP59042375 Cpd. of formula (I), their salts and esters are new, and have antiinflammatory effect: (R1 is H or 1-4C alkyl; R2 is H, 1-6C alkyl, opt. substd. phenyl, benzoyl, or substd. benzoyl).  
- 42.8% inhibition of carrageenin edema is exhibited in rats when (I) is administered in an oral dose of 25 mg/kg. In an example, 200 mg of 4-hydroxy-phenyl-2-thiophene carboxylic acid ethyl ester (0.76 mmol) was dissolved in 1 ml of 1N NaOH. To this mixt. 0.1 ml of dimethyl sulphate (1.0 mmol) was added dropwise under stirring. The reaction mixt. was heated under reflux for 2 hrs. After cooling, 5 ml of 10% NaOH aq. soln. was added and stirred at room temp. for 1 hr. The mixt. was adjusted at acidic pH with 10% HCl, extracted with ethyl acetate, and conc. When the residue was recrystallised from cyclohexane-toluene (1:1). 106 mg of 4-methoxy-5-phenyl-2-thiophene-carboxylic acid was obtd.  
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